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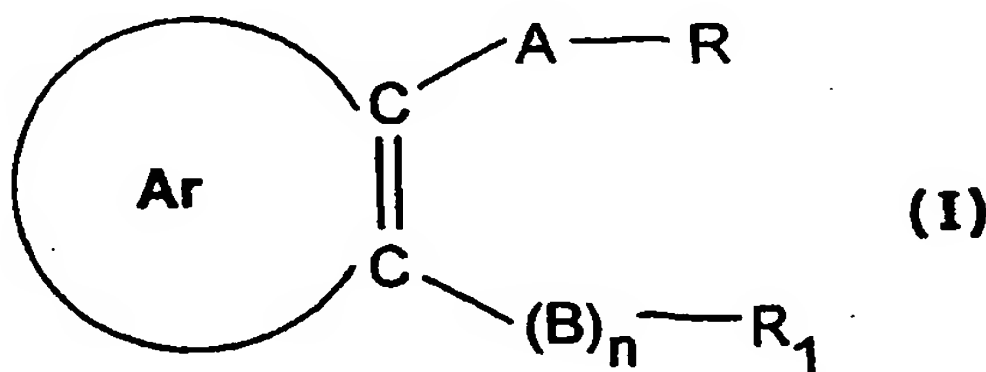
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8 February 2001

[Continued on next page]

(54) Title: NOVEL COMPOUNDS, THEIR USE AND PREPARATION



(57) Abstract: The invention relates to compounds of general formula (I) wherein Ar is optionally substituted aryl or heteroaryl; A is (i) -O-, -S-, -SO<sub>2</sub>-, -NH-, (ii) a C<sub>1-4</sub>-alkyl- or C<sub>1-6</sub>-acyl-substituted nitrogen atom or (iii) a C<sub>1-8</sub>-alkylene chain or a heteroalkylene chain having 2 to 8 chain atoms, which optionally contains at least one unsaturation, and which may be substituted and/or contain a bridge to form a saturated or partially or fully unsaturated ring having 3-8 ring members; B is -C(R<sub>4</sub>)(R<sub>5</sub>)-, -OC(R<sub>4</sub>)(R<sub>5</sub>)-, -N(R<sub>6</sub>)C(R<sub>4</sub>)(R<sub>5</sub>)-, -N(R<sub>6</sub>)-O-, -S- or -SO<sub>2</sub>-; R is optionally substituted C<sub>3-8</sub>-cycloalkyl, aryl or heteroaryl; R<sub>1</sub> is (i) a saturated or unsaturated azacyclic or aminoazacyclic ring, or a saturated diazacyclic or aminodiazacyclic ring, which has 4 to 7 ring members, or a saturated aminoazabicyclic, azabicyclic or diazabicyclic ring which has 7 to 10 ring members, which rings optionally are substituted in one or more positions, or a group -[C(R<sub>4</sub>)(R<sub>5</sub>)]<sub>x</sub>N(R<sub>2a</sub>)(R<sub>3a</sub>); R<sub>2a</sub>, R<sub>3a</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and x are as defined in the claims, and n is 0 or 1; and pharmaceutically acceptable salts, hydrates and prodrug forms thereof. The compounds may be prepared by per se conventional methods and can be used for treating a human or animal subject suffering from a serotonin-related disorder, such as eating disorders, especially obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders. The invention also relates to such use as well as to pharmaceutical compositions comprising a compound of formula (I).



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report: 19 July 2001

(15) Information about Correction:  
see PCT Gazette No. 29/2001 of 19 July 2001, Section II

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 00/01017

## A. CLASSIFICATION OF SUBJECT MATTER

IPC7: C07D 241/18, C07D 241/20, C07D 405/12, A61K 31/497, A61P 25/00  
According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: C07D, A61K, A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	Journal of Medicinal Chemistry, Volume 21, No 6, 1978, William C. Lumma, Jr. et al, "Piperazinylpyrazines with Central Serotoninmimetic Activity", page 536 - page 542, page 538 --	31-41
A	GB 1440722 A (MERCK & CO INC), 23 June 1976 (23.06.76), the claims; page 5, lines 5-13, page 7, products 14-15 --	31-41
A	WO 9958490 A2 (AKZO NOBEL N.V.), 18 November 1999 (18.11.99), the claims --	31-41

☒ Further documents are listed in the continuation of Box C.☒ See patent family annex.

## \* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&amp;" document member of the same patent family

Date of the actual completion of the international search

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Date of mailing of the international search report

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## INTERNATIONAL SEARCH REPORT

International application No.

PCT/SE 00/01017

## C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 9611930 A1 (SMITHKLINE BEECHAM P.L.C), 25 April 1996 (25.04.96)  --	31-41
A	WO 9501976 A1 (SMITHKLINE BEECHAM PLC), 19 January 1995 (19.01.95)  -- -----	31-41

# INTERNATIONAL SEARCH REPORT

International application No.  
**PCT/SE00/01017**

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **55-56**  
because they relate to subject matter not required to be searched by this Authority, namely:  
**A method for treatment of the human or animal body by therapy,  
see rule 39.1**
2. ☒ Claims Nos.: **1-30, 42-54, 57**  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  
**see next sheet**
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).:

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.



INTERNATIONAL SEARCH REPORT

International application No.  
PCT/SE00/01017

Claims 1-30, 42-54 and 57 contain an extremely great number of possible compounds, which render it difficult, if not impossible to determine the matter for which protection is sought. These claims therefore fail to comply with the clarity and conciseness requirements of Article 6 PCT to such an extent that a meaningful search on the basis of these is impossible.

Due to the complexity of the claims, a search has been carried out for those parts of the claims which appear to be supported and disclosed, namely claims 31-41.

The applicants attention is drawn to the fact that claims relating to inventions in which no international search report has been established will not be the subject of an international preliminary examination (Rule 66.1(e) PCT). This is the case irrespective of whether or not the claims are amended following receipt of the search report during any Chapter II procedure.



# INTERNATIONAL SEARCH REPORT

Information on patent family members

03/10/00

International application No.

PCT/SE 00/01017

Patent document cited in search report			Publication date	Patent family member(s)		Publication date
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